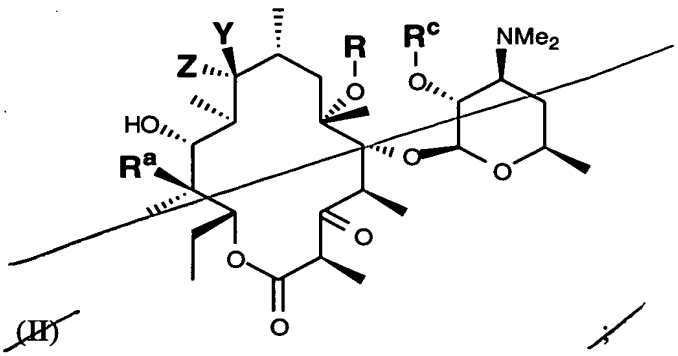
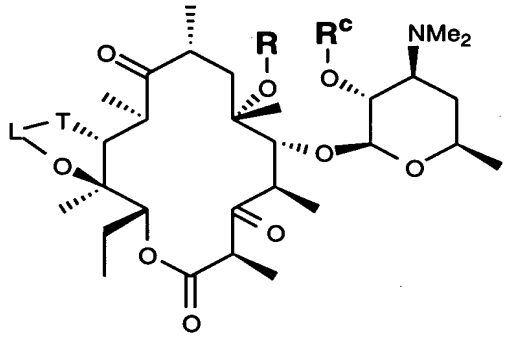
Claims

What is claimed is:

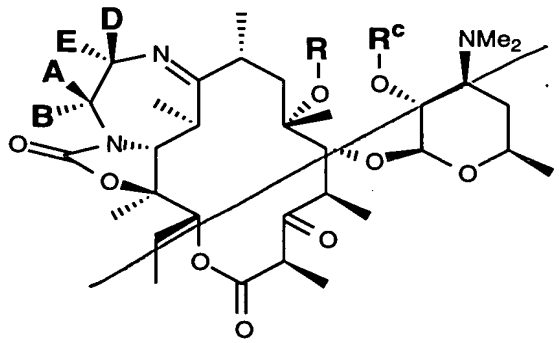
1. A compound ~~selected from the group consisting of~~ having the formula



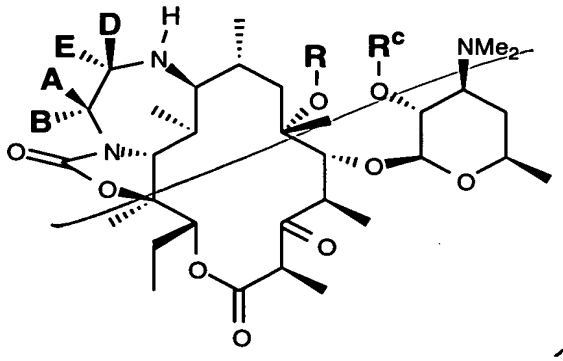
(II) ;



(III) ;

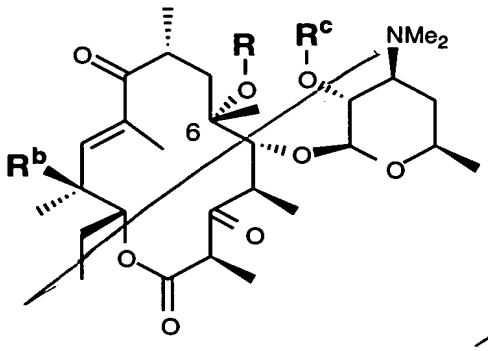


(IV) ;



(IV-A)

and



(V)

or a pharmaceutically acceptable salt, ester or prodrug thereof,

wherein

either,

Y and Z taken together define a group X,

wherein

X is selected from the group consisting of

(1) =O,

(2) =N-OH,

(3) =N-O-R^1 where R^1 is selected from the group consisting of

(a) unsubstituted C_1-C_{12}-alkyl,

(b) C_1-C_{12}-alkyl substituted with aryl,

(c) C_1-C_{12}-alkyl substituted with substituted aryl,

(d) C_1-C_{12}-alkyl substituted with heteroaryl,

(e) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

(f) C_3-C_{12}-cycloalkyl, and

(g) -Si-(R^2)(R^3)(R^4) wherein R^2, R^3 and R^4 are each independently selected from C_1-C_{12}-alkyl and Aryl;

and

(4) =N-O-C(R^5)(R^6)-O-R^1 where R^1 is as previously defined and R^5 and R^6 are each independently selected from the group consisting of

(a) hydrogen,

(b) unsubstituted C_1-C_{12}-alkyl,

(c) C_1-C_{12}-alkyl substituted with aryl,

(d) C_1-C_{12}-alkyl substituted with substituted aryl,

(e) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(f) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^5 and R^6 taken together with the atom to which they are attached form a C_3-C_{12}-cycloalkyl ring;

or,

one of Y and Z is hydrogen and the other is selected from a group consisting of

(1) hydrogen,

(2) hydroxy,

(3) protected hydroxy,

and

(4) NR^7R^8 wherein R^7 and R^8 are independently selected from hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -S(O)$_n$-, wherein n is 1 or 2,

R^a is hydrogen or hydroxy;

R^b is selected from the group consisting of hydroxy, -O-C(O)-NH_2 and -O-C(O)-imidazolyl;

R^c is hydrogen or a hydroxy protecting group;

L is methylene or carbonyl, provided that when L is methylene, T is -O-,

T is selected from the group consisting of -O-, -NH-, and -N(W-R^d)-, wherein

W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-;

and

R^d is selected from the group consisting of

(1) hydrogen,

(2) C_1-C_6-alkyl optionally substituted with one or more substituents selected from the group consisting of

(a) aryl,

(b) substituted-aryl,

(c) heteroaryl,

(d) substituted-heteroaryl,

(e) hydroxy,

(f) C_1-C_6-alkoxy,

(g) NR^7R^8, wherein R^7 and R^8 are as defined previously,

and

(h) $-CH_2-M-R^9$

wherein M is selected from the group consisting of:

(i) -C(O)-NH-,

(ii) -NH-C(O)-,

(iii) -NH-,

(iv) -N=,

(v) $-N(CH_3)-$,

(vi) -NH-C(O)-O-

(vii) -NH-C(O)-NH-

(viii) -O-C(O)-NH-

(ix) -O-C(O)-O-

(x) -O-,

(xi) $-S(O)_n-$, wherein n is 0, 1 or 2,

(xii) -C(O)-O-,

(xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R^9 is selected from the group consisting of:

(i) C_1-C_6-alkyl, optionally substituted with a substituent selected from the group consisting of

(aa) aryl,
(bb) substituted-aryl,
(cc) heteroaryl, and
(dd) substituted-heteroaryl,

(ii) aryl,
(iii) substituted-aryl,
(iv) heteroaryl,
(v) substituted-heteroaryl,
and
(vi) heterocycloalkyl,

(3) C_3-C_7-cycloalkyl,
(4) aryl,
(5) substituted-aryl,
(6) heteroaryl,
and
(7) substituted-heteroaryl;

R is selected from the group consisting of
(1) methyl substituted with a moiety selected from the group consisting of
(a) CN,
(b) F,
(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,
(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,
(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,
(g) aryl,
(h) substituted aryl,
(i) heteroaryl,
and
(j) substituted heteroaryl,
(2) C_2-C_{10}-alkyl,
(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of
(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) O-SO_2-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be

substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,

(iii) C_1-C_3-alkoxy,

(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(v) oxo,

(vi) C_1-C_3-alkyl,

(vii) halo-C_1-C_3-alkyl,

and

(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,

(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,

(m) $-C\equiv N$,

(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,

(o) aryl,

(p) substituted aryl,

(q) heteroaryl,

(r) substituted heteroaryl,

(s) C_3-C_8-cycloalkyl,

(t) substituted C_3-C_8-cycloalkyl,

(u) C_1-C_{12}-alkyl substituted with heteroaryl,

(v) heterocycloalkyl,

(w) substituted heterocycloalkyl,

(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(aa) $=N-R^9$ wherein R^9 is as previously defined,

(bb) $=N-NHC(O)R^{10}$ wherein R^{10} is as previously defined,

and

(cc) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of

(a) halogen,

(b) -CHO,

(c) $-CO_2R^{10}$ where R^{10} is as previously defined,

(d) -C(O)-R^9 where R^9 is as previously defined,

(e) -C(O)$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(f) -C≡N,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) -CO_2R^{10} where R^{10} is as previously defined,

(f) -C(O)$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) -$NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) =N-O-R^{10} where R^{10} is as previously defined,

(i) -C≡N,

(j) O-$S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) =N-$NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) =N-R^9 wherein R^9 is as previously defined,

(u) =N-NHC(O)R^{10} where R^{10} is as previously defined,

and

(v) =N-NHC(O)$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

(d) heteroaryl,

and

(e) substituted heteroaryl;

and

A, B, D and E, with the provision that at least two of A, B, D and E are hydrogen, are independently selected from the group consisting of:

(a) hydrogen;

(b) C_1-C_6-alkyl, optionally substituted with one or more substituents selected from the group consisting of:

(i) aryl;

(ii) substituted-aryl;

(iii) heteroaryl;

(iv) substituted-heteroaryl;

(v) heterocycloalkyl;

(vi) hydroxy;

(vii) C_1-C_6-alkoxy;

(viii) halogen consisting of Br, Cl, F or I; and

(ix) NR^7R^8, wherein R^7 and R^8 are as previously defined;

(c) C_3-C_7-cycloalkyl;

(d) aryl;

(e) substituted-aryl;

(f) heteroaryl;

(g) substituted-heteroaryl;

(h) heterocycloalkyl; and

(i) a group selected from option (b) above further substituted with -M-R^9, wherein M and R^9 are as previously defined;

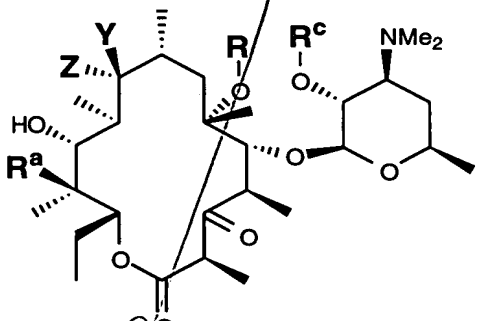
or

any one pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken together with the atom or atoms to which they are attached to form a 3- to 7-membered ring optionally containing a hetero function selected from the group consisting of-O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, -S- or -$S(O)_n$-, wherein n is 1 or 2, -C(O)-NH-, -C(O)-NR^{12}-, wherein R^{12} is as previously defined, -NH-C(O)-, -NR^{12}-C(O)-, wherein R^{12} is as previously defined, and -C(=NH)-NH-.

2. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable carrier.

3. A method for controlling a bacterial infection in a mammal comprising administering to an mammal a therapeutically-effective pharmaceutical composition containing a compound according to Claim 1.

4. A compound according to Claim 1, having the formula (II),



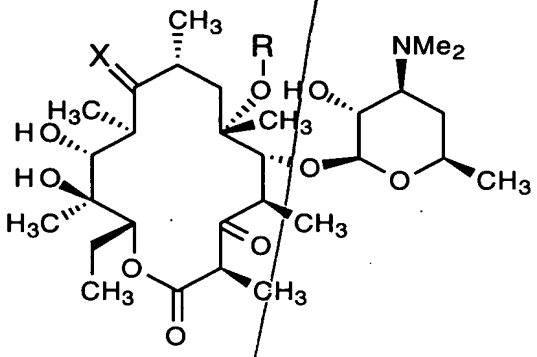
(II) ;

wherein Z, Y, R, Ra and Rc are as described therein.

5. A compound according to Claim 4 which is the compound of Formula (II), R^a is OH, R^c is benzoyl, R is allyl.

6. A compound according to Claim 4 wherein R^a is hydroxy and R^c is hydrogen.

7. A compound according to Claim 4 having the formula VIII,



VIII

wherein X is O or NOH, and R is as defined therein.

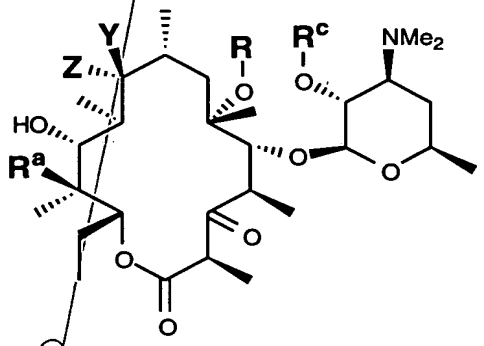
8. A compound according to Claim 7 which is selected from the group consisting of:

Compound of Formula (VIII): X is O, R is allyl;
Compound of Formula (VIII): X is NOH, R is allyl.;
Compound of Formula (VIII): X is O, R is propyl;
Compound of Formula (VIII): X is O, R is -CH_2CHO;
Compound of Formula (VIII): X is O, R is -CH_2CH=NOH;
Compound of Formula (VIII): X is NOH, R is -CH_2CH=NOH;
Compound of Formula (VIII): X is O, R is -CH_2CN;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NH_2$;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_2$-Phenyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_2CH_2$-Phenyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH(CO_2CH_3)CH_2$-Phenyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_2$-(4-pyridyl);
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_2$-(4-quinolyl);
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-Phenyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2CH_2$-Phenyl;
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(4-methoxyphenyl);
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(4-chlorophenyl);
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(3-quinolyl);
Compound of Formula (VIII): X is O, R is -$CH_2CH_2CH_2OH$.;
Compound of Formula (VIII): X is O, R is -$CH_2C(O)OH$;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_3$;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2NHCH_2OH$;

Compound of Formula (VIII): X is O, R is $-CH_2CH_2N(CH_3)_2$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2$(1-morpholinyl);
Compound of Formula (VIII): X is O, R is $-CH_2C(O)NH_2$;
Compound of Formula (VIII): X is O, R is $-CH_2NHC(O)NH_2$;
Compound of Formula (VIII): X is O, R is $-CH_2NHC(O)CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2F$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2OCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CH(CH_3)_2$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2CH(CH_3)CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2OCH_2CH_2OCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2SCH_3$;
Compound of Formula (VIII): X is O, R is -cyclopropyl;
Compound of Formula (VIII): X is O, R is $-CH_2OCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2F$;
Compound of Formula (VIII): X is O, R is $-CH_2$-cyclopropyl;
Compound of Formula (VIII): X is O, R is $-CH_2CH_2CHO$;
Compound of Formula (VIII): X is O, R is $-C(O)CH_2CH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-nitrophenyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-chlorophenyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-methoxyphenyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-cyanophenyl);
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHC(O)OCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHC(O)OCH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHCH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHCH_2CH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2CH=CHSO_2$-phenyl;
Compound of Formula (VIII): X is O, R is $-CH_2C\equiv C\text{-}Si(CH_3)_3$;
Compound of Formula (VIII): X is O, R is $-CH_2C\equiv CCH_2CH_2CH_2CH_2CH_2CH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2C\equiv CCH_3$;
Compound of Formula (VIII): X is O, R is $-CH_2$-(2-pyridyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(3-pyridyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-pyridyl);
Compound of Formula (VIII): X is O, R is $-CH_2$-(4-quinolyl);
Compound of Formula (VIII): X is O, R is $-CH_2NO_2$;
Compound of Formula (VIII): X is O, R is $-CH_2C(O)OCH_3$;

Compound of Formula (VIII): X is O, R is -$CH_2C(O)$-phenyl;
Compound of Formula (VIII): X is O, R is -$CH_2C(O)CH_2CH_3$;
Compound of Formula (VIII): X is O, R is -CH_2Cl;
Compound of Formula (VIII): X is O, R is -$CH_2S(O)_2$-phenyl;
Compound of Formula (VIII): X is O, R is -CH_2CH=CHBr;
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(4-quinolyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2CH_2$-(4-quinolyl;
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(5-quinolyl;
Compound of Formula (VIII): X is O, R is -$CH_2CH_2CH_2$-(5-quinolyl;
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(4-benzoxazolyl;
Compound of Formula (VIII): X is O, R is -CH_2CH=CH-(7-benzimidazolyl;
Compound of Formula (VIII): X is O, R is CH_2-(3-iodophenyl);
Compound of Formula (VIII): X is O, R is CH_2-(2-naphthyl);
Compound of Formula (VIII): X is O, R is CH_2-CH=CH-(4-fluorophenyl); and
Compound of Formula (VIII): X is O, R is CH_2-CH(OH)-CN.

9. A process for the preparation of 6-O-substituted macrolide compounds having the Formula:



(II) ;

wherein
either,
Y and Z taken together define a group X,
wherein
X is selected from the group consisting of
(1) =O,
(2) =N-OH,
(3) =N-O-R^1 where R^1 is selected from the group consisting of
(a) unsubstituted C_1-C_{12}-alkyl,
(b) C_1-C_{12}-alkyl substituted with aryl,

(c) C_1-C_{12}-alkyl substituted with substituted aryl,

(d) C_1-C_{12}-alkyl substituted with heteroaryl,

(e) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

(f) C_3-C_{12}-cycloalkyl, and

(g) -Si-(R^2)(R^3)(R^4) wherein R^2, R^3 and R^4 are each independently selected from C_1-C_{12}-alkyl and Aryl;

and

(4) =N-O-C(R^5)(R^6)-O-R^1 where R^1 is as previously defined and R^5 and R^6 are each independently selected from the group consisting of

(a) hydrogen,

(b) unsubstituted C_1-C_{12}-alkyl,

(c) C_1-C_{12}-alkyl substituted with aryl,

(d) C_1-C_{12}-alkyl substituted with substituted aryl,

(e) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(f) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^5 and R^6 taken together with the atom to which they are attached form a C_3-C_{12}-cycloalkyl ring;

or,

one of Y and Z is hydrogen and the other is selected from a group consisting of

(1) hydrogen,

(2) hydroxy,

(3) protected hydroxy,

and

(4) NR^7R^8 wherein R^7 and R^8 are independently selected from hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -S(O)$_n$-, wherein n is 1 or 2,

R^a is hydrogen or hydroxy;

R^c is hydrogen or a hydroxy protecting group; and

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) $O-SO_2$-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,
(ix) C_3-C_8-cycloalkyl,
(x) substituted C_3-C_8-cycloalkyl,
(xi) substituted aryl,
(xii) heterocycloalkyl,
(xiii) substituted heterocycloalkyl,
(xiv) C_1-C_{12}-alkyl substituted with aryl,
(xv) C_1-C_{12}-alkyl substituted with substituted aryl,
(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,
(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,
(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,
(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,
(xx) heteroaryl,
(xxi) substituted heteroaryl,
(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,
and
(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,
(ii) hydroxy,
(iii) C_1-C_3-alkoxy,
(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,
(v) oxo,
(vi) C_1-C_3-alkyl,
(vii) halo-C_1-C_3-alkyl,
and
(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,
(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,
(m) $-C\equiv N$,
(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
(o) aryl,

(p) substituted aryl,

(q) heteroaryl,

(r) substituted heteroaryl,

(s) C_3-C_8-cycloalkyl,

(t) substituted C_3-C_8-cycloalkyl,

(u) C_1-C_{12}-alkyl substituted with heteroaryl,

(v) heterocycloalkyl,

(w) substituted heterocycloalkyl,

(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(z) $=N\text{-}NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(aa) $=N\text{-}R^9$ wherein R^9 is as previously defined,

(bb) $=N\text{-}NHC(O)R^{10}$ wherein R^{10} is as previously defined,

and

(cc) $=N\text{-}NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of

(a) halogen,

(b) -CHO,

(c) $-CO_2R^{10}$ where R^{10} is as previously defined,

(d) $-C(O)\text{-}R^9$ where R^9 is as previously defined,

(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(f) $-C\equiv N$,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) $=N-O-R^{10}$ where R^{10} is as previously defined,

(i) $-C\equiv N$,

(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N-R^9$ wherein R^9 is as previously defined,

(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

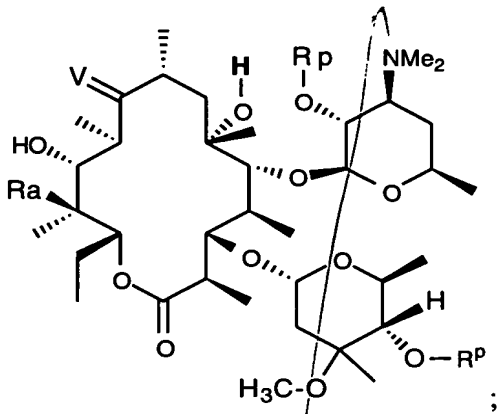
(d) heteroaryl,

and

(e) substituted heteroaryl;

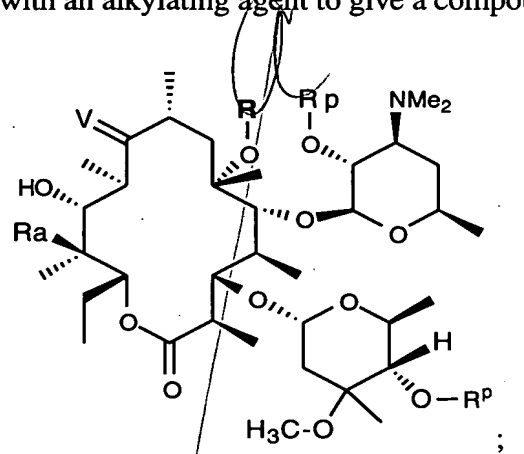
the method comprising:

(a) treating a compound having the formula



;

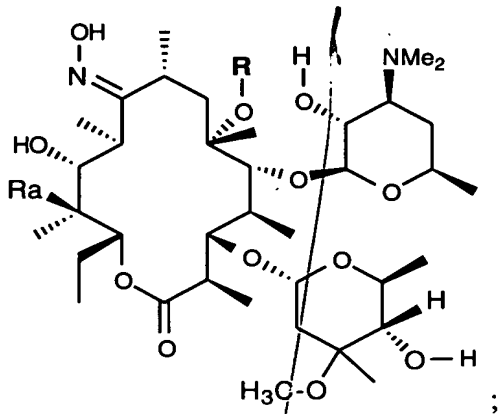
wherein R^P is a hydroxy protecting group and V is =N-O-R^1 or =N-O-C(R^5)(R^6)-O-R^1 wherein R^1, R^9 and R^{10} are as previously defined, with a base in an aprotic solvent followed by treatment with an alkylating agent to give a compound having the formula



;

wherein R^a and R^P are as previously defined, V is =N-O-R^1 or =N-O-C(R^5)(R^6)-O-R^1 wherein R^1, R^5 and R^6 are as previously defined, and R is the "alkyl group" derived from the corresponding alkylating agent;

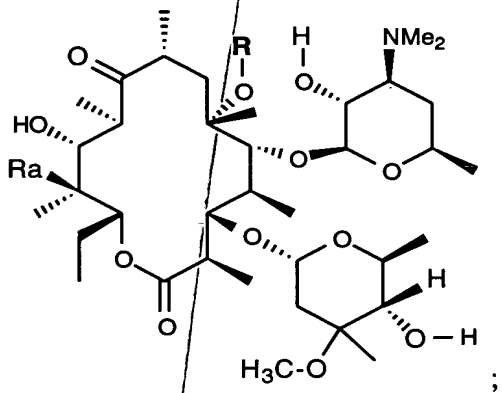
(b) deprotecting the 2'- and 4"-hydroxyl groups to give a compound of the formula



;

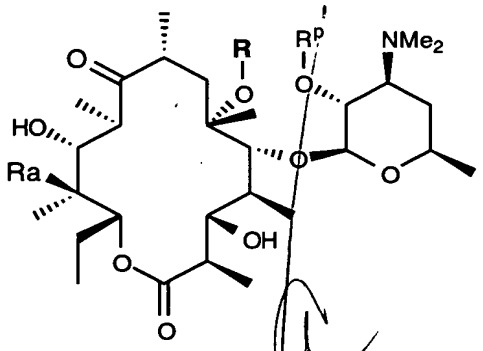
wherein R^a is as previously defined and R is the "alkyl group" derived from the corresponding alkylating agent;

(c) deoximation in the presence of acid in a suitable solvent to give the desired intermediate having the formula



;

(d) removing the cladinose moiety by hydrolysis with acid, and protecting the 2' hydroxyl group by treatment with a hydroxy-protecting reagent to give a 3-hydroxy erythromycin compound having the formula



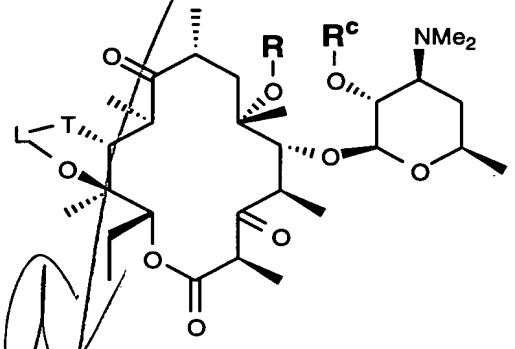
; and

(e) oxidizing the 3-hydroxy group, optionally deprotecting the 2'-hydroxyl group, and isolating the desired compound.

10. The process according to Claim 9 wherein in step (a) the base is selected from the group consisting of potassium hydroxide, cesium hydroxide, tetraalkylammonium hydroxide, sodium hydride, potassium hydride, potassium isopropoxide, potassium tert-butoxide and potassium isobutoxide, the alkylating agent is selected from the group consisting of allyl bromide, propargyl bromide, benzyl bromide, 2-fluoroethyl bromide, 4-nitrobenzyl bromide, 4-chlorobenzyl bromide, 4-methoxybenzyl bromide, α-bromo-p-tolunitrile, cinnamyl bromide, methyl 4-bromocrotonate, crotyl bromide, 1-bromo-2-pentene, 3-bromo-1-propenyl phenyl sulfone, 3-bromo-1-trimethylsilyl-1-propyne, 3-bromo-2-octyne, 1-bromo-2-butyne, 2-picolyl chloride, 3-picolyl chloride, 4-picolyl chloride, 4-bromomethyl quinoline, bromoacetonitrile, epichlorohydrin, bromofluoromethane, bromonitromethane, methyl bromoacetate, methoxymethyl chloride, bromoacetamide, 2-bromoacetophenone, 1-bromo-2-butanone, bromo chloromethane, bromomethyl phenyl sulfone, 1,3-dibromo-1-propene, allyl O-tosylate, 3-phenylpropyl-O-trifluoromethane sulfonate, and n-butyl-O-methanesulfonate, and the reaction is performed at a temperature from about -15 °C to about 50 °C for a period from 0.5 hours to 10 days; in step (b) deprotection is accomplished by use of acetic acid in water and acetonitrile; and in step (c) the deoximating reagent is an inorganic sulfur oxide compound selected from the group consisting of sodium hydrogen sulfite, sodium pyrosulfate, sodium thiosulfate, sodium sulfate, sodium sulfite, sodium hydrosulfite, sodium metabisulfite, sodium dithionate, potassium thiosulfate, and potassium metabisulfite, or an inorganic nitrite salt in the presence of acid selected from the group consisting of sodium nitrite and potassium nitrite, and the solvent is selected from the group consisting of water, methanol, ethanol, propanol, isopropanol, trimethylsilanol or a mixture of one or more thereof; in step (d) the hydroxy protecting reagent is selected from the group consisting of a trialkysilyl halide, an acyl anhydride or an acyl halide; in step (e), the oxidizing is selected from N-

chlorosuccinimide-dimethyl sulfide and carbodiimide-dimethylsulfoxide, and the optional deprotection is carried out by stirring in methanol.

11. A compound according to Claim 1, having the formula



(III) ;

wherein R, R^c, L and T are as described therein.

12. A compound according to Claim 11 which is selected from the group consisting of:

Compound of Formula (III): Rc is acetyl, L is CO, T is NH, R is $-CH_2CH=CH_2$;

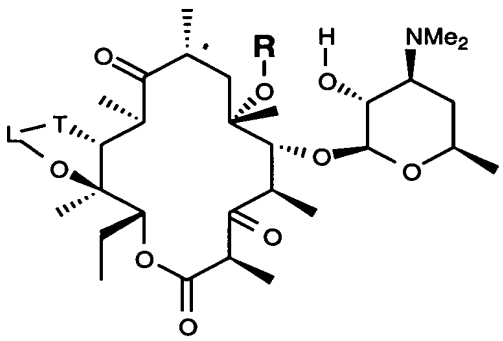
Compound of Formula (III): R^c is acetyl, L is CO, T is NH, R is $-CH_2CH=CH-$(3-quinolyl);

Compound of Formula (III): R^c is benzoyl, L is CO, T is NH, R is $-CH_2CH=CH-$(3-quinolyl);

Compound of Formula (III): R^c is propanoyl, L is CO, T is NH, R is $-CH_2CH=CH-$(3-quinolyl); and

Compound of Formula (III): R^c is ethylsuccinoyl, L is CO, T is NH, R is $-CH_2CH=CH-$(3-quinolyl).

13. A compound according to Claim 11 having the formula (IX)



(IX)

T1840X

wherein L, T and R are as defined therein.

16. A compound according to Claim 15 which is selected from the group consisting of:

Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH=CH_2$;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-phenyl;
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_2$-Phenyl;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-chlorophenyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(3-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_3$.;
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2NH_2$.;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=NOH.;
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_2OH$;
Compound of Formula (IX): L is CO, T is O, R is -CH_2F;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH_2-phenyl;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH_2-(4-pyridyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH_2-(4-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH(OH)CN$;
Compound of Formula (IX): L is CO, T is O, R is -$CH(C(O)OCH_3)CH_2$-phenyl;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CN;
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-methoxyphenyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-fluorophenyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(8-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2NHCH_2$-phenyl;
Compound of Formula (IX): L is CO, T is O, R is -CH_2-phenyl;
Compound of Formula (IX): L is CO, T is O, R is -CH_2-(4-pyridyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2-(4-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-pyridyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_2$-(4-pyridyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_2$-(4-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(5-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -$CH_2CH_2CH_2$-(5-quinolyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-benzoxazolyl);
Compound of Formula (IX): L is CO, T is O, R is -CH_2CH=CH-(4-benzimidazolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH=CH_2$;

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-Phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_3$.;
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NH_2$.;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=NOH.;
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2OH$;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2F;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH_2-phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH_2-(4-pyridyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH(OH)CN$;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH_2-(4-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH(C(O)OCH_3)CH_2$-phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CN;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-chlorophenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-fluorophenyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2$-(4-methoxyphenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-methoxyphenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-chloro-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2CH_2$-(2-chlorophenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-(4-pyridyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-(4-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-pyridyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2$-(4-pyridyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-fluoro-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2$-(4-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-cyano-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2$-(5-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-benzoxazolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-benzimidazolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-methoxy-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-(2-naphthyl);
Compound of Formula (IX): L is CO, T is $N(CH_3)$, R is -CH_2CH=CH_2;
Compound of Formula (IX): L is CO, T is $N(CH_3)$, R is -CH_2CH=CH-(3-quinolyl);
Compound of Formula (IX): L is CO, T is $N(CH_2CH_2N(CH_3)_2)$, R is -CH_2CH=CH_2;

Compound of Formula (IX): L is CO, T is $N(CH_2CH_2N(CH_3)_2)$, R is $-CH_2CH=CH-$(3-quinolyl);

Compound of Formula (IX): L is CO, T is $N(CH_2CH=CH_2)$, R is $-CH_2CH=CH_2$;

Compound of Formula (IX): L is CO, T is T is $N(CH_2CH=C-$(3-quinolyl)), R is $-CH_2CH=CH-$(3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(3-pyridyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(2-naphthyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(4-isoquinolinyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(3,4-methylenedioxyphenyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(8-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(5-indolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-chloro-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(3,4-ethylenedioxyphenyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(3-nitrophenyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-nitroquinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(5-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(2-methyl-6-quinolyl);

Compound of Formula (III): L is CO, T is NH, R^c is acetyl; R is $-CH_2CH=CH-$(3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(5-isoquinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(7-nitro-6-quinoxalinyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-amino-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(1,8-naphthyridin-3-yl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-(acetylamino)-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(3-carbazolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(5-benzimidazolyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(-3-hydroxy-2-(N-(2-methoxyphenyl)amido)-7-naphthyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-quinoxalinyl);

Compound of Formula (IX): L is CO, T is NH, R is $-CH_2CH=CH-$(6-hydroxy-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(6-methoxy-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(5-nitro-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(8-nitro-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(2-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(4-carboxyl-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(6-fluoro-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(6-methoxycarbonyl-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(6-aminocarbonyl-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(6-cyano-3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=CH-(3-bromo-6-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2C(O)H$;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2$Phenyl;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2CH_2$Phenyl;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2CH_2CH_2$Phenyl;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2CH_2CH_2CH_2$Phenyl;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2CH_2CH_2$-(3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2$(3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHCH_2$(6-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=NO(phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$(phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$(4-NO_2-phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$(4-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$(2-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$(3-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$-(6-quinolyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$-(1-naphthyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=$NOCH_2$-(2-naphthyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHOCH_2$-(phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2NHOCH_2$-(4-NO_2-phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2C(O)$-phenyl;

Compound of Formula (IX): L is CO, T is NH, R is -$CH_2C(O)$-(4-F-phenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH=NNHC(O)phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -$CH_2CH_2CH_2$-(3-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-(2-(3-quinolyl)cyclopropyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-H;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(3-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(6-nitro-3-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-naphthyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(2-naphthyl) ;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(6-methoxy-2-naphthyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(6-chloro-2-naphthyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(2-methyl-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(5-(N-(2-pyridyl)amino)carbonyl)furanyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(1-phenylethenyl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-Br;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-(2,2-dimethyl-1,3-dioxolan-4-yl);
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH(OH)-phenyl;
Compound of Formula (IX): L is CO, T is NH, R is -CH_2CH(OH)CH_2OH;
Compound of Formula (IX): L is CO, T is $NHNH_2$, R is -CH_2CH=CH_2;
Compound of Formula (IX): L is CO, T is $NHNH_2$, R is -CH_2CH=CH-(3-quinolyl);
Compound of Formula (IX): L is CO, T is $NHNH_2$, R is -$CH_2CH_2CH_2$-(3-quinolyl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-naphthyl;
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3-(2-furanyl)-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(8-chloro-3-quinolyl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(4-chloro-2-trifluoromethyl-6-quinolyl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(9-fluorenone-2-yl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-benzoyl-2-naphthyl);
Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(7-methoxy-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3-phenyl-6-quinolyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3-(2-pyridyl)-6-quinolyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3-(2-thiophenyl)-6-quinolyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(4-methylnaphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-β-D-galactopyranosyl-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(7-quinolyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(4-fluoronaphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3-biphenyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(5-nitronaphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(4-pyrrolylphenyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-methoxy-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(3,5-dichlorophenyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2-(3-iodophenyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2-(3-(2-furanyl)phenyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-hydroxy-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-(2-bromoethoxy)-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-(6-(2-(tetrazolyl)ethoxy-2-naphthyl);

Compound of Formula (IX): L is CO, T is NH_2, R is -CH_2CH=CH-naphthyl;

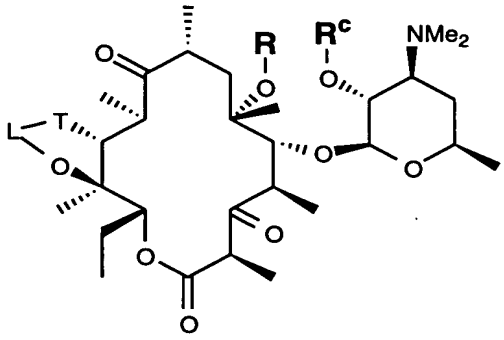
Compound of Formula (IX): L is CO, T is NH, R is -CH_2-C≡C-(2-phenylethenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2-CH=CH-(5-(3-isoxazolyl)-2-thiophenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH_2-CH=CH-(1,3-dimethyl-2,4-dioxo-5-pyrimidinyl); and

Compound of Formula (IX): L is CO, T is NH, R is -CH_2-CH=CH-(5-(2-pyridyl)aminocarbonyl-2-furanyl).

15. A process for the preparation of 6-O-substituted macrolide compounds having the Formula:



(III) ;

wherein:

R^c is hydrogen or a hydroxy protecting group;

L is carbonyl and T is -O-,

and

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) O-SO_2-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,
(ii) hydroxy,
(iii) C_1-C_3-alkoxy,
(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,
(v) oxo,
(vi) C_1-C_3-alkyl,
(vii) halo-C_1-C_3-alkyl,
and
(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,
(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,
(m) $-C\equiv N$,
(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
(o) aryl,
(p) substituted aryl,
(q) heteroaryl,
(r) substituted heteroaryl,
(s) C_3-C_8-cycloalkyl,
(t) substituted C_3-C_8-cycloalkyl,
(u) C_1-C_{12}-alkyl substituted with heteroaryl,
(v) heterocycloalkyl,
(w) substituted heterocycloalkyl,
(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,
(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
(aa) $=N-R^9$ wherein R^9 is as previously defined,
(bb) $=N-NHC(O)R^{10}$ wherein R^{10} is as previously defined,
and
(cc) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of
(a) halogen,
(b) -CHO,
(c) $-CO_2R^{10}$ where R^{10} is as previously defined,
(d) $-C(O)-R^9$ where R^9 is as previously defined,
(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(f) -C≡N,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) $=N-O-R^{10}$ where R^{10} is as previously defined,

(i) -C≡N,

(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N-R^9$ wherein R^9 is as previously defined,

(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

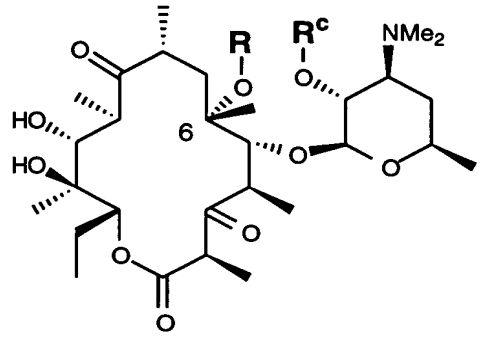
(d) heteroaryl,

and

(e) substituted heteroaryl;

the method comprising:

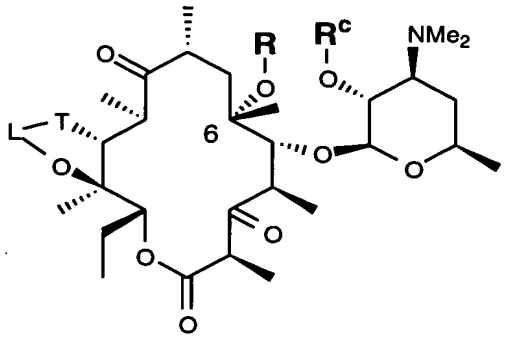
treating a compound having the formula



(II) ;

wherein R is as defined previously and R^c is a hydroxy protecting group, with carbonyldiimidazole and sodium hexamethyldisilazide to give the desired compound wherein R^c is a hydroxy protecting group, optionally deprotecting, and isolating the desired compound.

8. A process for the preparation of 6-O-substituted macrolide compounds having the Formula:



(III) ;

wherein:

R^c is hydrogen or a hydroxy protecting group;

L is carbonyl,

T is selected from the group consisting of -NH-, and -N(W-R^d)-, wherein

W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-;

and

R^d is selected from the group consisting of

(1) hydrogen,

(2) C_1-C_6-alkyl optionally substituted with one or more substituents selected from the group consisting of

(a) aryl,

(b) substituted-aryl,

(c) heteroaryl,

(d) substituted-heteroaryl,

(e) hydroxy,

(f) C_1-C_6-alkoxy,

(g) NR^7R^8 wherein R^7 and R^8 are independently selected from hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-

C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -$S(O)_n$-, wherein n is 1 or 2,

and

(h) -CH_2-M-R^9

wherein M is selected from the group consisting of:

(i) -C(O)-NH-,
(ii) -NH-C(O)-,
(iii) -NH-,
(iv) -N=,
(v) -$N(CH_3)$-,
(vi) -NH-C(O)-O-
(vii) -NH-C(O)-NH-
(viii) -O-C(O)-NH-
(ix) -O-C(O)-O-
(x) -O-,
(xi) -$S(O)_n$-, wherein n is 0, 1 or 2,
(xii) -C(O)-O-,
(xiii) -O-C(O)-,
and
(xiv) -C(O)-,

and

R^9 is selected from the group consisting of:

(i) C_1-C_6-alkyl, optionally substituted with a substituent selected from the group consisting of
(aa) aryl,
(bb) substituted-aryl,
(cc) heteroaryl, and
(dd) substituted-heteroaryl,
(ii) aryl,
(iii) substituted-aryl,
(iv) heteroaryl,
(v) substituted-heteroaryl,
and
(vi) heterocycloalkyl,

(3) C_3-C_7-cycloalkyl,
(4) aryl,
(5) substituted-aryl,

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(6) heteroaryl,

and

(7) substituted-heteroaryl;

and

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy;

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) $O-SO_2$-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,

(iii) C_1-C_3-alkoxy,

(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(v) oxo,

(vi) C_1-C_3-alkyl,

(vii) halo-C_1-C_3-alkyl,

and

(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,

(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,

(m) -C≡N,

(n) O-S(O)$_n$R^{10} wherein n is 0, 1 or 2 and R^{10} is as previously defined,

(o) aryl,

(p) substituted aryl,

(q) heteroaryl,

(r) substituted heteroaryl,

(s) C_3-C_8-cycloalkyl,

(t) substituted C_3-C_8-cycloalkyl,

(u) C_1-C_{12}-alkyl substituted with heteroaryl,

(v) heterocycloalkyl,

(w) substituted heterocycloalkyl,

(x) NHC(O)R^{10} where R^{10} is as previously defined,

(y) NHC(O)NR^{11}R^{12} wherein R^{11} and R^{12} are as previously defined,

(z) =N-NR^{13}R^{14} wherein R^{13} and R^{14} are as previously defined,

(aa) =N-R^9 wherein R^9 is as previously defined,

(bb) =N-NHC(O)R^{10} wherein R^{10} is as previously defined,

and

(cc) =N-NHC(O)NR^{11}R^{12} wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of

(a) halogen,

(b) -CHO,

(c) -CO_2R^{10} where R^{10} is as previously defined,

(d) -C(O)-R^9 where R^9 is as previously defined,

(e) -C(O)NR^{11}R^{12} wherein R^{11} and R^{12} are as previously defined,

(f) -C≡N,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,
(b) C_1-C_3-alkoxy,
(c) oxo,
(d) -CHO,
(e) $-CO_2R^{10}$ where R^{10} is as previously defined,
(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
(h) $=N-O-R^{10}$ where R^{10} is as previously defined,
(i) $-C\equiv N$,
(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
(k) aryl,
(l) substituted aryl,
(m) heteroaryl,
(n) substituted heteroaryl,
(o) C_3-C_7-cycloalkyl,
(p) C_1-C_{12}-alkyl substituted with heteroaryl,
(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,
(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
(t) $=N-R^9$ wherein R^9 is as previously defined,
(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,
and
(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;
and
(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of
(a) trialkylsilyl,
(b) aryl,
(c) substituted aryl,
(d) heteroaryl,
and
(e) substituted heteroaryl;

the method comprising:

(a) treating a compound having the formula

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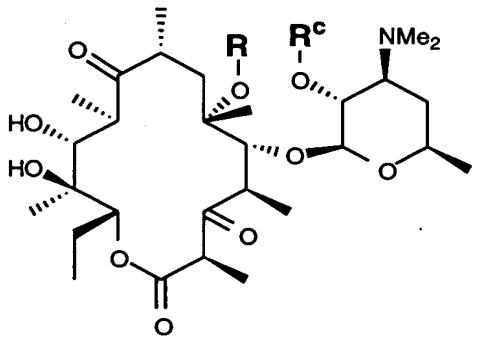

(II) ;

wherein R is as defined previously, and Rc is a hydroxy protecting group, by treatment with sodium hexamethyldisilazide and carbonyldiimidazole to give a compound having the formula

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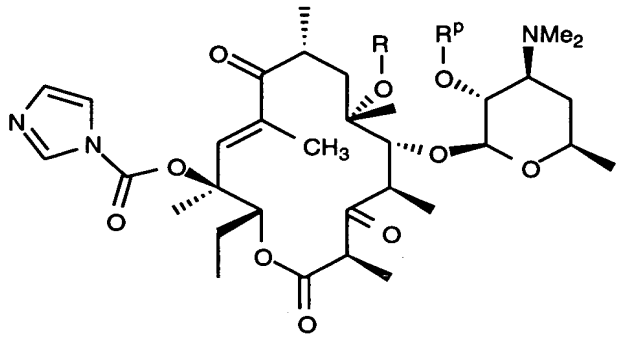

;

(b) treating the compound from step (a) with a reagent selected from the group consisting of ammonia, R^e-NH_2, hydrazine, substituted hydrazine, hydroxylamine, and substituted hydroxylamine to give a compound having the formula

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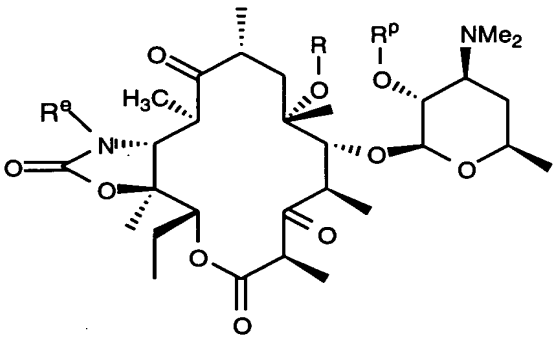


wherein R^e is H or W-R^d, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and R^d is as defined previously,

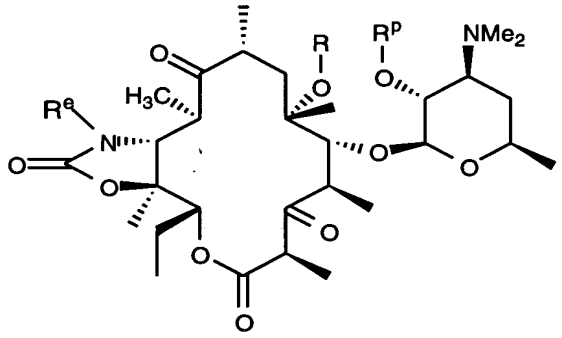
(c) optionally treating the compound from step (b) wherein W is absent or -NH- with an alkylating agent selected from the group consisting of R^d-halogen to give a compound wherein W is absent or -NH- and R^d is as defined above;

(d) optionally treating the compound from step (b) wherein W is -NH- and R^d is H with an acylating agent selected from the group consisting of R^d-C(CO)-halogen or $(R^d\text{-C(CO)-O})_2$ to give a compound wherein W is -NH-CO- and R^d is as defined above;

(e) optionally treating the compound from step (b) wherein W is -NH- and R^d is H with an aldehyde R^d-CHO, wherein R^d as defined above to give a compound wherein W is -N=CH- and R^d is as defined above; and

(f) optionally deprotecting, and isolating the desired compound.

9. A process for preparing a compound having the formula



wherein R and R^P

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) O-SO_2-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,

(iii) C_1-C_3-alkoxy,

(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(v) oxo,

(vi) C_1-C_3-alkyl,

(vii) halo-C_1-C_3-alkyl,

and

(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,

(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,

(m) $-C\equiv N$,

(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,

(o) aryl,

(p) substituted aryl,

(q) heteroaryl,

(r) substituted heteroaryl,

(s) C_3-C_8-cycloalkyl,

(t) substituted C_3-C_8-cycloalkyl,

(u) C_1-C_{12}-alkyl substituted with heteroaryl,

(v) heterocycloalkyl,

(w) substituted heterocycloalkyl,

(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(aa) $=N-R^9$ wherein R^9 is as previously defined,

(bb) =N-NHC(O)R^{10} wherein R^{10} is as previously defined,

and

(cc) =N-NHC(O)$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of

(a) halogen,

(b) -CHO,

(c) $-CO_2R^{10}$ where R^{10} is as previously defined,

(d) $-C(O)-R^9$ where R^9 is as previously defined,

(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(f) -C≡N,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) =N-O-R^{10} where R^{10} is as previously defined,

(i) -C≡N,

(j) O-$S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N\text{-}NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N\text{-}R^{9}$ wherein R^{9} is as previously defined,

(u) $=N\text{-}NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N\text{-}NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) $C_3\text{-}C_{10}$-alkynyl;

and

(8) $C_3\text{-}C_{10}$-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

(d) heteroaryl,

and

(e) substituted heteroaryl;

R^e is H or W-R^d, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and R^d is selected from the group consisting of

(1) hydrogen,

(2) $C_1\text{-}C_6$-alkyl optionally substituted with one or more substituents selected from the group consisting of

(a) aryl,

(b) substituted-aryl,

(c) heteroaryl,

(d) substituted-heteroaryl,

(e) hydroxy,

(f) $C_1\text{-}C_6$-alkoxy,

(g) NR^7R^8 wherein R^7 and R^8 are independently selected from hydrogen and $C_1\text{-}C_6$-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N($C_1\text{-}C_6$-alkyl-)-, -N(aryl)-, -N(aryl-$C_1\text{-}C_6$-alkyl-)-, -N(substituted-aryl-$C_1\text{-}C_6$-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-

C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -$S(O)_n$-, wherein n is 1 or 2,

and

(h) $-CH_2-M-R^9$

wherein M is selected from the group consisting of:

(i) -C(O)-NH-,

(ii) -NH-C(O)-,

(iii) -NH-,

(iv) -N=,

(v) $-N(CH_3)-$,

(vi) -NH-C(O)-O-

(vii) -NH-C(O)-NH-

(viii) -O-C(O)-NH-

(ix) -O-C(O)-O-

(x) -O-,

(xi) $-S(O)_n-$, wherein n is 0, 1 or 2,

(xii) -C(O)-O-,

(xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R^9 is selected from the group consisting of:

(i) C_1-C_6-alkyl, optionally substituted with a substituent selected from the group consisting of

(aa) aryl,

(bb) substituted-aryl,

(cc) heteroaryl, and

(dd) substituted-heteroaryl,

(ii) aryl,

(iii) substituted-aryl,

(iv) heteroaryl,

(v) substituted-heteroaryl,

and

(vi) heterocycloalkyl,

(3) C_3-C_7-cycloalkyl,

(4) aryl,

(5) substituted-aryl,

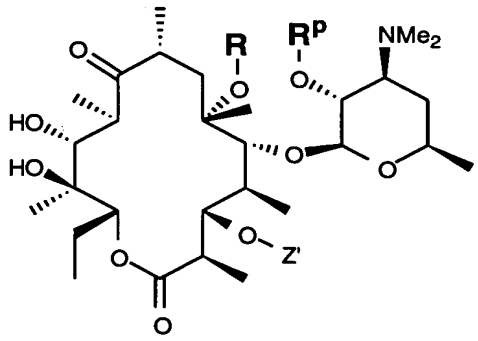
(6) heteroaryl,

and

(7) substituted-heteroaryl;

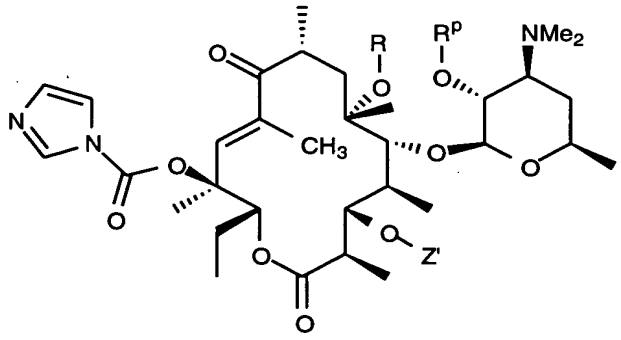
the method comprising.

(a) treating a compound having the formula



;

wherein R is as previously defined, R^p is a hydroxy protecting group and Z' is 4"-hydroxy-protected cladinose, with sodium hexamethyldisilazide and carbonyldiimidazole to give a compound having the formula



;

(b) treating the compound from step (a) with a reagent selected from the group consisting of ammonia, R^e-NH_2, hydrazine, substituted hydrazine, hydroxylamine, and substituted hydroxylamine to give a compound having the formula

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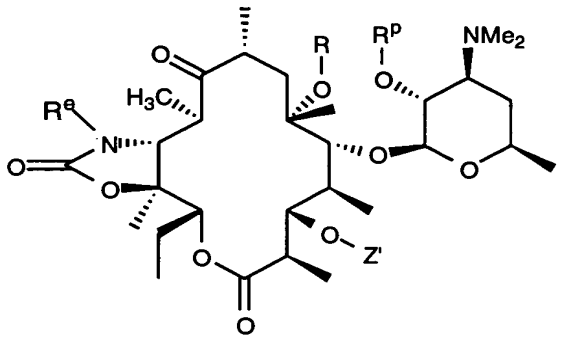

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wherein R^e is H or W-R^d, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and R^d is as defined previously,

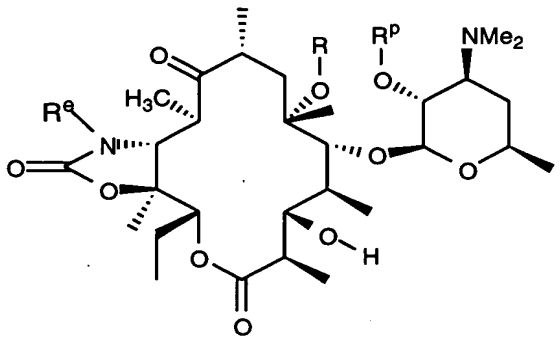
(c) optionally treating the compound from step (b) wherein R^e is H with an alkylating agent having the formula R^d-halogen, wherein R^d is as defined previously, to give a compound of the formula shown in step (b) wherein R^e is W-R^d, W is absent and R^d is as defined previously;

(d) optionally treating the compound from step (b) wherein R^e is W-R^d and W is -NH- and R^d is H, with an alkylating agent selected from the group consisting of R^d-halogen, wherein R^d is as defined previously, to give a compound of the formula shown in step (b) wherein R^e is W-R^d, W is -NH- and R^d is as defined above;

(e) optionally treating the compound from step (b) wherein R^e is W-R^d and W is -NH- and R^d is H, with an acylating agent selected from the group consisting of R^d-C(CO)-halogen and $(R^d\text{-C(CO)-O})_2$ to give a compound wherein R^e is W-R^d, W is -NH-CO- and R^d is as defined above;

(f) optionally treating the compound from step (b) wherein R^e is W-R^d and W is -NH- and R^d is H, with an aldehyde having the formula R^d-CHO, wherein R^d as defined above to give a compound wherein R^e is W-R^d, W is -N=CH- and R^d is as defined above;

(g) removing the cladinose moiety by hydrolysis with acid to give a compound having the formula



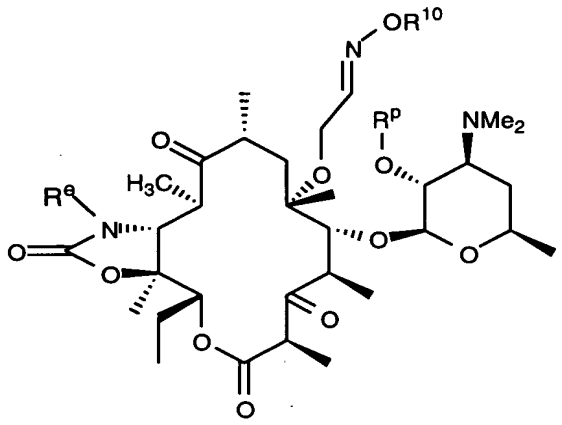
(h) oxidizing the 3-hydroxyl group; and

(i) optionally deprotecting, and isolating the desired compound.

18. A process according to Claim 17 wherein R is selected from the group consisting of allyl and propargyl, wherein the allyl or propargyl moiety is further substituted with a moiety selected from the group consisting of 2-chlorophenyl, 2-fluorenyl, 2-methyl-6-quinolyl, 2-naphthyl, 2-phenylethenyl, 2-quinolyl, 3-(2-furanyl)-6-quinolyl, 3-(2-pyridyl)-6-quinolyl, 3-quinolyl, 3-(2-thiophenyl)-6-quinolyl, 3-biphenyl, 3-bromo-6-quinolyl, 3-carbazolyl, 3-chloro-6-quinolyl, 3-cyano-6-quinolyl, 3-fluoro-6-quinolyl, 3-hydroxy-2-(N-(2-methoxyphenyl)amido)-7-naphthyl, 3-iodophenyl, 3-methoxy-6-quinolyl, 3-nitrophenyl, 3-phenyl-6-quinolyl, 3-quinolyl, 4-benzoxazolyl, 4-carboxyl-3-quinolyl, 4-chloro-2-trifluoromethyl-6-quinolyl, 4-chlorophenyl, 4-fluoronaphthyl, 4-fluorophenyl, 4-isoquinolinyl, 4-methoxyphenyl, 4-methylnaphthyl, 4-pyridyl, 4-pyrrolylphenyl, 4-quinolyl, 5-(2-pyridyl)aminocarbonyl-2-furanyl, 5-(3-isoxazolyl)-2-thiophenyl, 5-benzimidazolyl, 5-indolyl, 5-isoquinolyl, 5-nitro-3-quinolyl, 5-nitronaphthyl, 5-quinolyl, 6-(acetylamino)-3-quinolyl, 6-(2-(tetrazolyl)ethoxy-2-naphthyl, 6-(2-bromoethoxy)-2-naphthyl, 6-amino-3-quinolyl, 6-aminocarbonyl-3-quinolyl, 6-β-D-galactopyranosyl-2-naphthyl, 6-benzoyl-2-naphthyl, 6-cyano-3-quinolyl, 6-fluoro-3-quinolyl, 6-hydroxy-2-naphthyl, 6-hydroxy-3-quinolyl, 6-methoxy-2-naphthyl, 6-methoxy-3-quinolyl, 6-methoxycarbonyl-3-quinolyl, 6-nitroquinolyl, 6-quinolyl, 6-quinoxalinyl, 7-methoxy-2-naphthyl, 7-nitro-6-quinoxalinyl, 7-quinolyl, 8-chloro-3-quinolyl, 8-nitro-3-quinolyl, 8-quinolyl, 9-oxofluoren-2-yl, 1,3-dimethyl-2,4-dioxo-5-pyrimidinyl, 1,8-naphthyridin-3-yl, 3,4-methylenedioxyphenyl, 3,5-dichlorophenyl, naphthyl, and phenyl, and in step (b) the reagent is selected from the group consisting of ammonia and R^e-NH_2; optional steps (c), (d) and (e) are omitted; and in step (g) the oxidizing reagent is selected from N-chlorosuccinimide-dimethyl sulfide and carbodiimide-dimethylsulfoxide; and in step (h) the optional deprotection is carried out by stirring in methanol.

11. A process according to Claim 10 wherein R is selected from the group consisting of allyl and propargyl, wherein the allyl or propargyl moiety is further substituted with a moiety selected from the group consisting of 2-methyl-6-quinolyl, 2-quinolyl, 3-(2-furanyl)-6-quinolyl, 3-(2-pyridyl)-6-quinolyl, 3-quinolyl, 3-(2-thiophenyl)-6-quinolyl, 3-bromo-6-quinolyl, 3-chloro-6-quinolyl, 3-cyano-6-quinolyl, 3-fluoro-6-quinolyl, 3-methoxy-6-quinolyl, 3-phenyl-6-quinolyl, 3-quinolyl, 4-carboxyl-3-quinolyl, 4-chloro-2-trifluoromethyl-6-quinolyl, 4-isoquinolinyl, 4-quinolyl, 5-isoquinolyl, 5-nitro-3-quinolyl, 5-quinolyl, 6-(acetylamino)-3-quinolyl, 6-amino-3-quinolyl, 6-aminocarbonyl-3-quinolyl, 6-cyano-3-quinolyl, 6-fluoro-3-quinolyl, 6-hydroxy-3-quinolyl, 6-methoxy-3-quinolyl, 6-methoxycarbonyl-3-quinolyl, 6-nitroquinolyl, 6-quinolyl, 7-quinolyl, 8-chloro-3-quinolyl, 8-nitro-3-quinolyl and 8-quinolyl.

12. A process for preparing a compound having the formula



wherein R^e is H or W-R^d, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and

R^d is selected from the group consisting of

(1) hydrogen,

(2) C_1-C_6-alkyl optionally substituted with one or more substituents selected from the group consisting of

(a) aryl,

(b) substituted-aryl,

(c) heteroaryl,

(d) substituted-heteroaryl,

(e) hydroxy,

(f) C_1-C_6-alkoxy,

(g) NR^7R^8 wherein R^7 and R^8 are independently selected from the group consisting of hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -$S(O)_n$-, wherein n is 1 or 2,

and

(h) $-CH_2-M-R^9$

wherein M is selected from the group consisting of:

(i) -C(O)-NH-,

(ii) -NH-C(O)-,

(iii) -NH-,

(iv) -N=,

(v) $-N(CH_3)-$,

(vi) -NH-C(O)-O-

(vii) -NH-C(O)-NH-

(viii) -O-C(O)-NH-

(ix) -O-C(O)-O-

(x) -O-,

(xi) $-S(O)_n-$, wherein n is 0, 1 or 2,

(xii) -C(O)-O-,

(xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R^9 is selected from the group consisting of:

(i) C_1-C_6-alkyl, optionally substituted with a substituent selected from the group consisting of

(aa) aryl,

(bb) substituted-aryl,

(cc) heteroaryl, and

(dd) substituted-heteroaryl,

(ii) aryl,

(iii) substituted-aryl,

(iv) heteroaryl,

(v) substituted-heteroaryl,

and

(vi) heterocycloalkyl,

(3) C_3-C_7-cycloalkyl,

(4) aryl,

(5) substituted-aryl,

(6) heteroaryl,

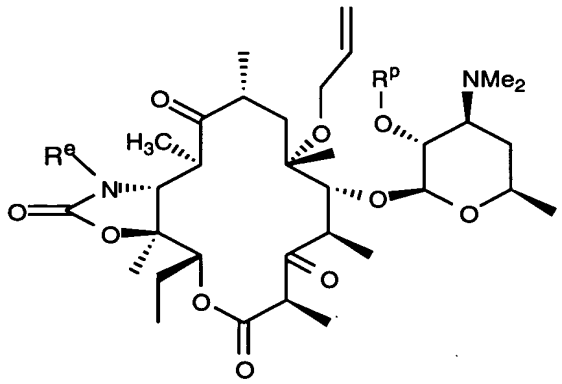
and

(7) substituted-heteroaryl;

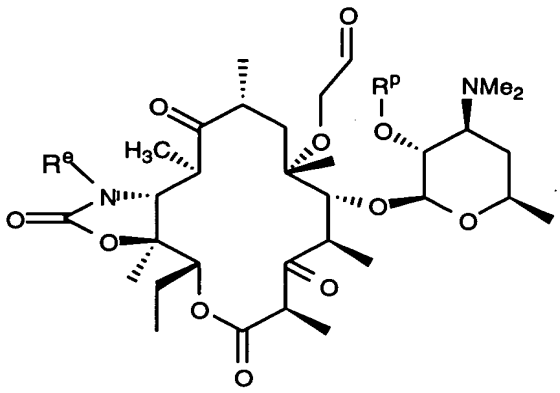
and R^{10} is H or C_1-C_3-alkyl, aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

the method comprising

(a) treating a compound having the formula



with ozone to give a compound having the formula

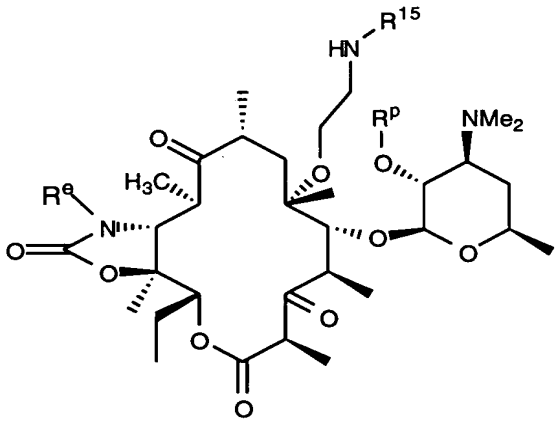


(b) treating the compound of step (a) with a hydroxylamine compound having the formula NH_2-O-R^{10}, wherein R^{10} is as previously defined; and

(c) optionally deprotecting, and isolating the desired compound.

21. A process according to Claim 20 wherein R^e is H.

22. A process for preparing a compound having the formula



wherein R^e is H or W-R^d, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and

R^d is selected from the group consisting of

(1) hydrogen,

(2) C_1-C_6-alkyl optionally substituted with one or more substituents selected from the group consisting of

(a) aryl,

(b) substituted-aryl,

(c) heteroaryl,

(d) substituted-heteroaryl,

(e) hydroxy,

(f) C_1-C_6-alkoxy,

(g) NR^7R^8 wherein R^7 and R^8 are independently selected from the group consisting of hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -$S(O)_n$-, wherein n is 1 or 2,

and

(h) $-CH_2-M-R^9$

wherein M is selected from the group consisting of:

(i) -C(O)-NH-,

(ii) -NH-C(O)-,

(iii) -NH-,

(iv) -N=,

(v) $-N(CH_3)-$,

(vi) -NH-C(O)-O-

(vii) -NH-C(O)-NH-

(viii) -O-C(O)-NH-

(ix) -O-C(O)-O-

(x) -O-,

(xi) $-S(O)_n-$, wherein n is 0, 1 or 2,

(xii) -C(O)-O-,

(xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R^9 is selected from the group consisting of:

(i) C_1-C_6-alkyl, optionally substituted with a substituent selected from the group consisting of

(aa) aryl,

(bb) substituted-aryl,

(cc) heteroaryl, and

(dd) substituted-heteroaryl,

(ii) aryl,

(iii) substituted-aryl,

(iv) heteroaryl,

(v) substituted-heteroaryl,

and

(vi) heterocycloalkyl,

(3) C_3-C_7-cycloalkyl,

(4) aryl,

(5) substituted-aryl,

(6) heteroaryl,

and

(7) substituted-heteroaryl;

and

R^{15} is selected from the group consisting of

(1) C_1-C_{12}-alkyl substituted with aryl,

(2) C_1-C_{12}-alkyl substituted with substituted aryl,

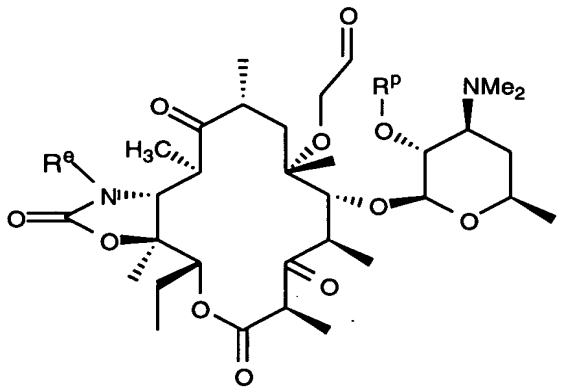
(3) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(4) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

the method comprising

(a) reductively aminating a compound having the formula



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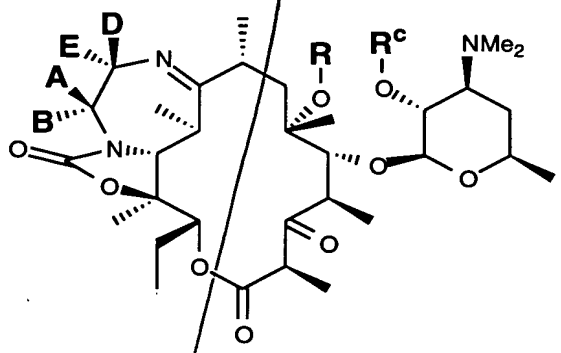
with an amine compound having the formula NH_2-R^{15}, wherein R^{15} is as previously defined; and

(b) optionally deprotecting, and isolating the desired compound.

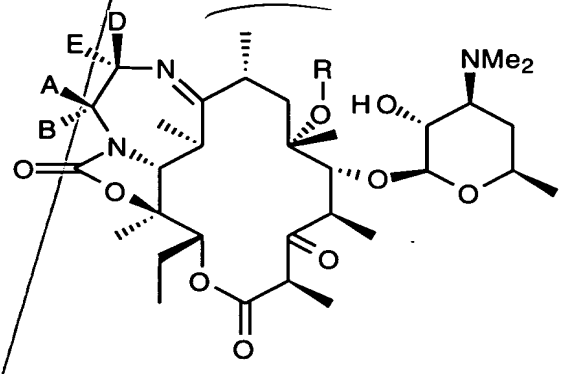
23. A compound according to Claim 1 having the formula IV,



(IV) ;

wherein R, R^c, A, B, D and E are as described therein.

24. A compound according to Claim 23 having the formula VII.



(VII)

wherein A, B, D, E, and R are as defined therein.

25. A compound according to Claim 24 which is selected from the group consisting of

Compound of Formula (VII): A, B, D, and E are H, R is allyl;

Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_3$;

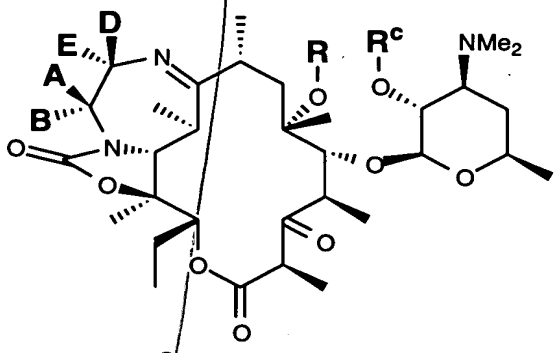
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NH_2$;

Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=NOH;

Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_2OH$;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2F;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CN;
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH(OH)CN$;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2-phenyl;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2-(4-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-chlorophenyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-fluorophenyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-methoxyphenyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_2$-phenyl;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_2$-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_2$-(4-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(5-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2CH_2$-(5-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-benzoxazolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(4-benzimidazolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(8-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NHCH_2$-phenyl;
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NHCH_2$-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NHCH_2$-(4-quinolyl);
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NHCH(CH_2$-phenyl)$C(O)OCH_3$;
Compound of Formula (VII): A, B, D, and E are H, R is -$CH_2CH_2NHCH_2CH_2$-(2-chlorophenyl);
Compound of Formula (VII): A, B and E are H, D is benzyl, R is allyl;
Compound of Formula (VII): A is benzyl, B, D and E are H, R is allyl;
Compound of Formula (VII): A and E are phenyl, B and D and are H, R is allyl;
Compound of Formula (VII): A is methyl, B, D and E are H, R is allyl;
Compound of Formula (VII): A and D are methyl, B and E are H, R is allyl;
Compound of Formula (VII): A and E taken together is -$CH_2CH_2CH_2$-, B and D are H, R is allyl;
Compound of Formula (VII): A, B, D, and E are H, R is -CH_2CH=CH-(3-quinolyl); and

Compound of Formula (VII): A, B, D, and E are H, R is 3-(3-quinolyl)propyl.

26. A process for preparing a compound having the formula IV



(IV) ;

wherein

R^c is hydrogen or a hydroxy protecting group;

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) O-SO_2-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,
(iii) C_1-C_3-alkoxy,
(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,
(v) oxo,
(vi) C_1-C_3-alkyl,
(vii) halo-C_1-C_3-alkyl,
and
(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,
(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,
(m) $-C\equiv N$,
(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
(o) aryl,
(p) substituted aryl,
(q) heteroaryl,
(r) substituted heteroaryl,
(s) C_3-C_8-cycloalkyl,
(t) substituted C_3-C_8-cycloalkyl,
(u) C_1-C_{12}-alkyl substituted with heteroaryl,
(v) heterocycloalkyl,
(w) substituted heterocycloalkyl,
(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,
(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
(aa) $=N-R^9$ wherein R^9 is as previously defined,
(bb) $=N-NHC(O)R^{10}$ wherein R^{10} is as previously defined,
and
(cc) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of
(a) halogen,
(b) -CHO,
(c) $-CO_2R^{10}$ where R^{10} is as previously defined,
(d) $-C(O)-R^9$ where R^9 is as previously defined,
(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(f) $-C\equiv N$,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) $=N-O-R^{10}$ where R^{10} is as previously defined,

(i) $-C\equiv N$,

(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N-R^9$ wherein R^9 is as previously defined,

(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

(d) heteroaryl,

and

(e) substituted heteroaryl;

and

A, B, D and E, with the provision that at least two of A, B, D and E are hydrogen, are independently selected from the group consisting of:

(a) hydrogen;

(b) C_1-C_6-alkyl, optionally substituted with one or more substituents selected from the group consisting of:

(i) aryl;

(ii) substituted-aryl;

(iii) heteroaryl;

(iv) substituted-heteroaryl;

(v) heterocycloalkyl;

(vi) hydroxy;

(vii) C_1-C_6-alkoxy;

(viii) halogen consisting of Br, Cl, F or I; and

(ix) NR^7R^8 wherein R^7 and R^8 are independently selected from hydrogen and C_1-C_6-alkyl, or R^7 and R^8 are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, and -S- or -$S(O)_n$-, wherein n is 1 or 2,

(c) C_3-C_7-cycloalkyl;

(d) aryl;

(e) substituted-aryl;

(f) heteroaryl;

(g) substituted-heteroaryl;

(h) heterocycloalkyl; and

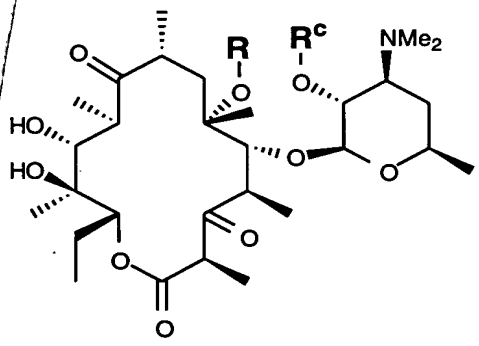
(i) a group selected from option (b) above further substituted with -M-R^9, wherein M and R^9 are as previously defined;

or

any one pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken together with the atom or atoms to which they are attached to form a 3- to 7-membered ring optionally containing a hetero function selected from the group consisting of-O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, -S- or -$S(O)_n$-, wherein n is 1 or 2, -C(O)-NH-, -C(O)-NR^{12}-, wherein R^{12} is as previously defined, -NH-C(O)-, -NR^{12}-C(O)-, wherein R^{12} is as previously defined, and -C(=NH)-NH-;

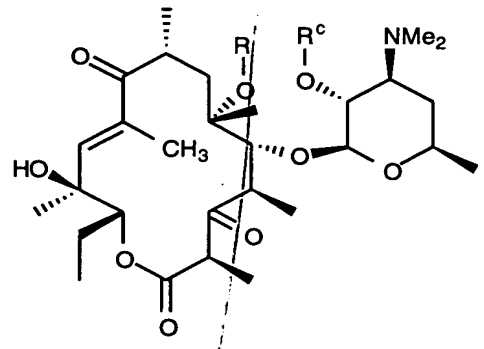
the method comprising:

(a) treating a compound having the formula



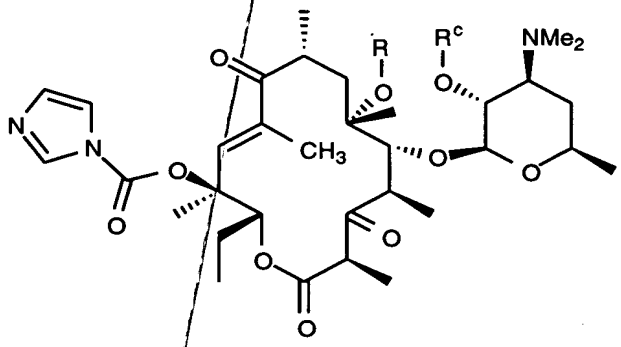
(II) ;

wherein R is as defined previously, and Rc is a hydroxy protecting group, by treatment with methanesulfonic anhydride in pyridine, then treating the methansulfonyl derivative with an amine base to give a compound having the formula



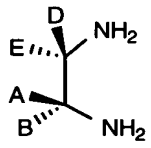
200 ;

(b) treating the compound from step (a) with an alkali metal hydride base and carbonyldiimidazole to give a compound having the formula



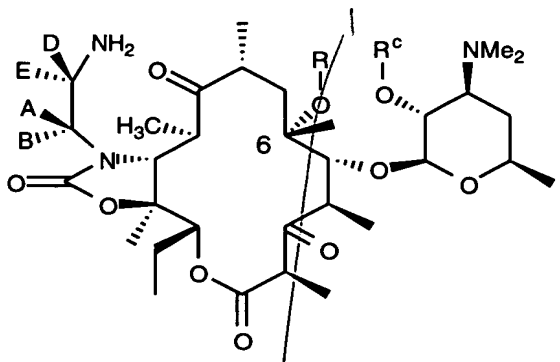
205 ;

(c) treating the compound of step (b) with a diamine having the formula



210

wherein A, B, D and E are as defined previously, to give a compound having the formula

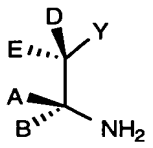


; and

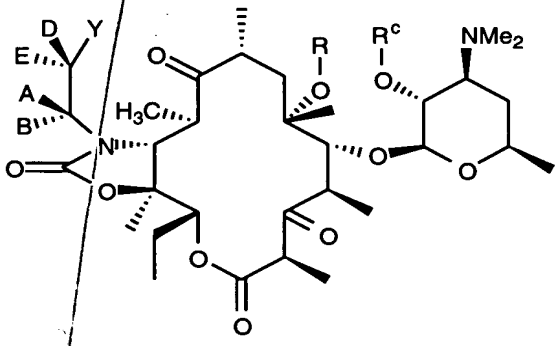
(d) cyclizing the compound of step (e) with dilute mineral or organic acid, optionally deprotecting, and isolating the desired compound.

27. A process according to Claim 26 wherein the steps (c) and (d) are replaced by the steps (c)-(f) consisting of

(c) treating the compound of step (b) with an amine having the formula

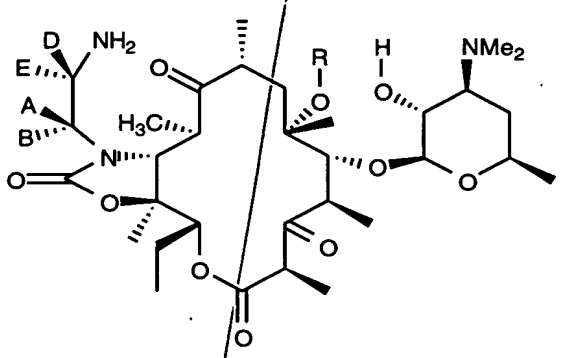


wherein A, B, D and E are as defined therein, and Y is hydroxy, to give a compound having the formula



(d) treating the compound of step (c) with triphenylphosphine and diphenylphosphoryl azide and diethylazodicarboxylate in tetrahydrofuran to give the compound of wherein Y is N_3, and removing the deprotecting group to give the compound wherein Y is N_3 and R^c is H;

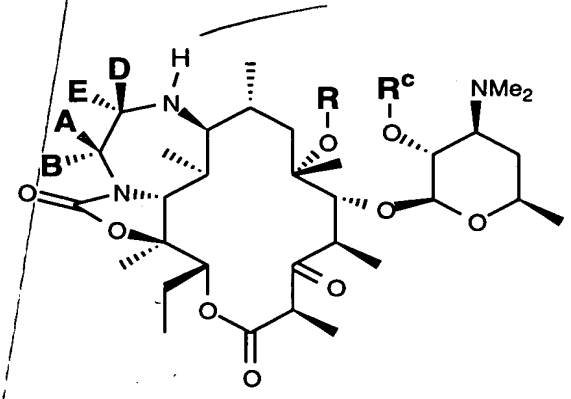
(e) treating the compound of step (d) with a reducing agent, and dialkylaluminum hydride, to give the compound having the formula



; and

(f) cyclizing the compound of step (e) with dilute mineral or organic acid, and isolating the desired compound.

28. A compound according to Claim 1 having the formula IV-A

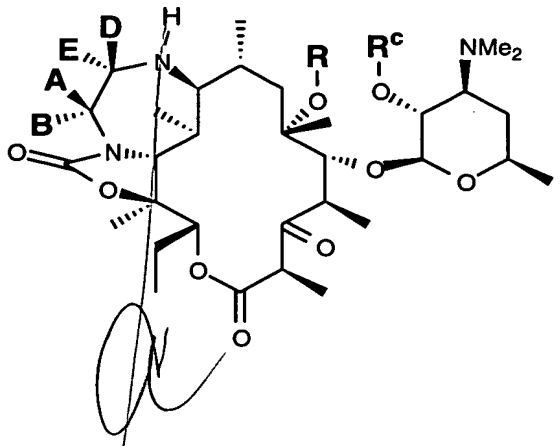


(IV-A) ;

wherein R, R^c, A, B, D and E are as defined previously.

29. A compound according to Claim 28 wherein R^c is H.

30. A process for preparing a compound having the formula



(IV-A) ;

wherein

R^c is hydrogen or a hydroxy protecting group;

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) O-SO_2-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,

(iii) C_1-C_3-alkoxy,

(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,
(v) oxo,
(vi) C_1-C_3-alkyl,
(vii) halo-C_1-C_3-alkyl,
and
(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,
(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,
(m) $-C\equiv N$,
(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
(o) aryl,
(p) substituted aryl,
(q) heteroaryl,
(r) substituted heteroaryl,
(s) C_3-C_8-cycloalkyl,
(t) substituted C_3-C_8-cycloalkyl,
(u) C_1-C_{12}-alkyl substituted with heteroaryl,
(v) heterocycloalkyl,
(w) substituted heterocycloalkyl,
(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,
(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
(aa) $=N-R^9$ wherein R^9 is as previously defined,
(bb) $=N-NHC(O)R^{10}$ wherein R^{10} is as previously defined,
and
(cc) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of
(a) halogen,
(b) -CHO,
(c) $-CO_2R^{10}$ where R^{10} is as previously defined,
(d) $-C(O)-R^9$ where R^9 is as previously defined,
(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
(f) $-C\equiv N$,
(g) aryl,
(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) $=N-O-R^{10}$ where R^{10} is as previously defined,

(i) $-C\equiv N$,

(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N-R^9$ wherein R^9 is as previously defined,

(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

(d) heteroaryl,

and

(e) substituted heteroaryl;

and

A, B, D and E, with the provision that at least two of A, B, D and E are hydrogen, are independently selected from the group consisting of:

(a) hydrogen;

(b) C_1-C_6-alkyl, optionally substituted with one or more substituents selected from the group consisting of:

(i) aryl;

(ii) substituted-aryl;

(iii) heteroaryl;

(iv) substituted-heteroaryl;

(v) heterocycloalkyl;

(vi) hydroxy;

(vii) C_1-C_6-alkoxy;

(viii) halogen consisting of Br, Cl, F or I; and

(ix) NR^7R^8, wherein R^7 and R^8 are as previously defined;

(c) C_3-C_7-cycloalkyl;

(d) aryl;

(e) substituted-aryl;

(f) heteroaryl;

(g) substituted-heteroaryl;

(h) heterocycloalkyl; and

(i) a group selected from option (b) above further substituted with -M-R^9, wherein M and R^9 are as previously defined;

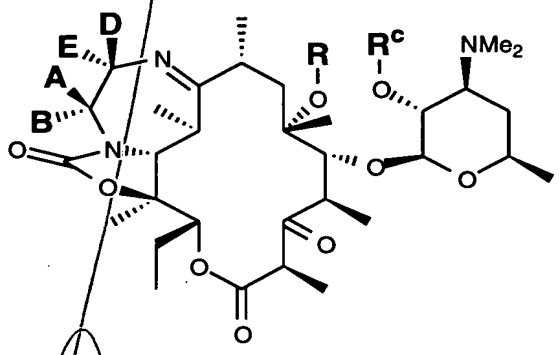
or

any one pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken together with the atom or atoms to which they are attached to form a 3- to 7-membered ring optionally containing a hetero function selected from the group consisting of-O-, -NH-, -N(C_1-C_6-alkyl-)-, -N(aryl-C_1-C_6-alkyl-)-, -N(substituted-aryl-C_1-C_6-alkyl-)-, -N(heteroaryl-C_1-C_6-alkyl-)-, -N(substituted-heteroaryl-C_1-C_6-alkyl-)-, -S- or -S(O)$_n$-, wherein n is

1 or 2, -C(O)-NH-, -C(O)-NR^{12}-, wherein R^{12} is as previously defined,
-NH-C(O)-, -NR^{12}-C(O)-, wherein R^{12} is as previously defined, and
-C(=NH)-NH-;

the method comprising:

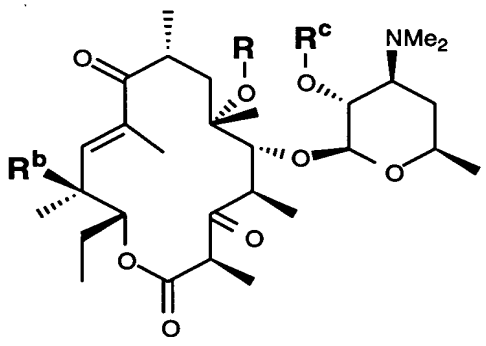
(a) treating a compound having the formula



(IV) ;

with a reducing agent.

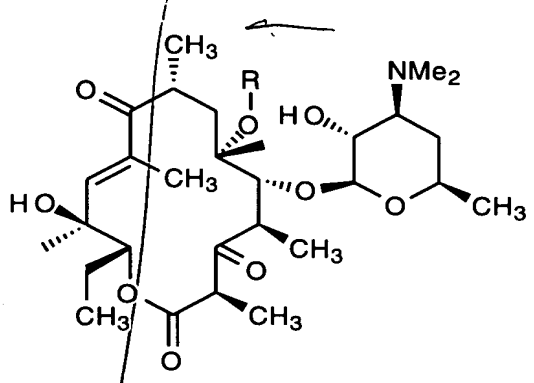
31. A compound according to Claim 1 having the formula V



(V) ;

wherein R, R^c and R^d are as defined therein.

32. A compound according to Claim 31 having the formula VI



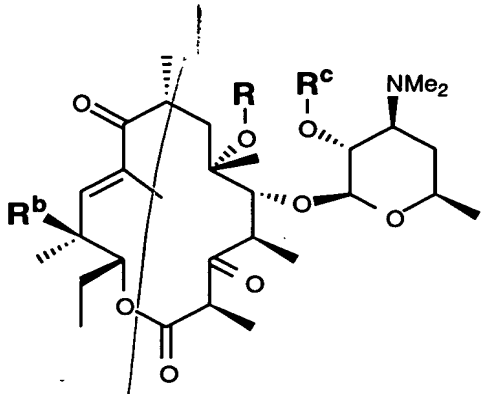
(VI)

wherein R is as defined therein.

33. A compound according to Claim 32 which is selected from the group consisting of

Compound of formula (VI): R is $-CH_2CH_2CH_3$,
Compound of formula (VI): R is $-CH_2CH{=}CH$,
Compound of formula (VI): R is $-CH_2CH{=}CH$-Phenyl,
Compound of formula (VI): R is $-CH_2CH_2CH_2$-Phenyl,
Compound of formula (VI): R is $-CH_2CH{=}NOH$,
Compound of formula (VI): R is $-CH_2CH_2NH_2$,
Compound of formula (VI): R is $-CH_2CH_2NHCH_2$-Phenyl,
Compound of formula (VI): R is $-CH_2CH_2NHCH_2$-(4-pyrdidyl),
Compound of formula (VI): R is $-CH_2CH_2NHCH_2$-(4-quinolyl),
Compound of formula (VI): R is $-CH_2CH(OH)CN$,
Compound of formula (VI): R is $-CH_2CH_2NHCH(CO_2CH_3)CH_2$-Phenyl,
Compound of formula (VI): R is $-CH_2CN$,
Compound of formula (VI): R is $-CH_2CH{=}CH$-(4-methoxyphenyl),
Compound of formula (VI): R is $-CH_2CH{=}CH$-(4-chlorophenyl),
Compound of formula (VI): R is $-CH_2CH{=}CH$-(4-fluorophenyl),
Compound of formula (VI): R is $-CH_2CH{=}CH$-(3-quinolyl),
Compound of formula (VI): R is $-CH_2CH{=}CH$-(8-quinolyl), and
Compound of formula (VI): R is $-CH_2CH_2NHCH_2CH_2$-(2-chlorophenyl).

34. A process for preparing a compound having the formula



(V) ;

wherein

R^b is selected from the group consisting of hydroxy, -O-C(O)-NH_2 and -O-C(O)-imidazolyl;

R^c is hydrogen or a hydroxy protecting group; and

R is selected from the group consisting of

(1) methyl substituted with a moiety selected from the group consisting of

(a) CN,

(b) F,

(c) $-CO_2R^{10}$ wherein R^{10} is C_1-C_3-alkyl or aryl substituted C_1-C_3-alkyl, or heteroaryl substituted C_1-C_3-alkyl,

(d) $S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(e) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(f) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are independently selected from hydrogen, C_1-C_3-alkyl, C_1-C_3-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

and

(j) substituted heteroaryl,

(2) C_2-C_{10}-alkyl,

(3) C_2-C_{10}-alkyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) hydroxy,

(c) C_1-C_3-alkoxy,

(d) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(e) oxo,

(f) $-N_3$,

(g) -CHO,

(h) $O-SO_2$-(substituted C_1-C_6-alkyl),

(i) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are selected from the group consisting of

(i) hydrogen,

(ii) C_1-C_{12}-alkyl,

(iii) substituted C_1-C_{12}-alkyl,

(iv) C_1-C_{12}-alkenyl,

(v) substituted C_1-C_{12}-alkenyl,

(vi) C_1-C_{12}-alkynyl,

(vii) substituted C_1-C_{12}-alkynyl,

(viii) aryl,

(ix) C_3-C_8-cycloalkyl,

(x) substituted C_3-C_8-cycloalkyl,

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

(xiv) C_1-C_{12}-alkyl substituted with aryl,

(xv) C_1-C_{12}-alkyl substituted with substituted aryl,

(xvi) C_1-C_{12}-alkyl substituted with heterocycloalkyl,

(xvii) C_1-C_{12}-alkyl substituted with substituted heterocycloalkyl,

(xviii) C_1-C_{12}-alkyl substituted with C_3-C_8-cycloalkyl,

(xix) C_1-C_{12}-alkyl substituted with substituted C_3-C_8-cycloalkyl,

(xx) heteroaryl,

(xxi) substituted heteroaryl,

(xxii) C_1-C_{12}-alkyl substituted with heteroaryl,

and

(xxiii) C_1-C_{12}-alkyl substituted with substituted heteroaryl,

or

R^{13} and R^{14} are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

(i) halogen,

(ii) hydroxy,

(iii) C_1-C_3-alkoxy,

(iv) C_1-C_3-alkoxy-C_1-C_3-alkoxy,

(v) oxo,

(vi) C_1-C_3-alkyl,

(vii) halo-C_1-C_3-alkyl,

and

(vii) C_1-C_3-alkoxy-C_1-C_3-alkyl,

(j) $-CO_2R^{10}$ wherein R^{10} is as previously defined,

(k) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(l) $=N-O-R^{10}$ wherein R^{10} is as previously defined,

(m) $-C\equiv N$,

(n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,

(o) aryl,

(p) substituted aryl,

(q) heteroaryl,

(r) substituted heteroaryl,

(s) C_3-C_8-cycloalkyl,

(t) substituted C_3-C_8-cycloalkyl,

(u) C_1-C_{12}-alkyl substituted with heteroaryl,

(v) heterocycloalkyl,

(w) substituted heterocycloalkyl,

(x) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(y) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(z) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(aa) $=N-R^9$ wherein R^9 is as previously defined,

(bb) $=N-NHC(O)R^{10}$ wherein R^{10} is as previously defined,

and

(cc) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(4) C_3-alkenyl substituted with a moiety selected from the group consisting of

(a) halogen,

(b) -CHO,

(c) $-CO_2R^{10}$ where R^{10} is as previously defined,

(d) $-C(O)-R^9$ where R^9 is as previously defined,

(e) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(f) $-C\equiv N$,

(g) aryl,

(h) substituted aryl,

(i) heteroaryl,

(j) substituted heteroaryl,

(k) C_3-C_7-cycloalkyl,

and

(l) C_1-C_{12}-alkyl substituted with heteroaryl,

(5) C_4-C_{10}-alkenyl;

(6) C_4-C_{10}-alkenyl substituted with one or more substituents selected from the group consisting of

(a) halogen,

(b) C_1-C_3-alkoxy,

(c) oxo,

(d) -CHO,

(e) $-CO_2R^{10}$ where R^{10} is as previously defined,

(f) $-C(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(h) $=N-O-R^{10}$ where R^{10} is as previously defined,

(i) $-C\equiv N$,

(j) $O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,

(k) aryl,

(l) substituted aryl,

(m) heteroaryl,

(n) substituted heteroaryl,

(o) C_3-C_7-cycloalkyl,

(p) C_1-C_{12}-alkyl substituted with heteroaryl,

(q) $NHC(O)R^{10}$ where R^{10} is as previously defined,

(r) $NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,

(s) $=N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,

(t) $=N-R^9$ wherein R^9 is as previously defined,

(u) $=N-NHC(O)R^{10}$ where R^{10} is as previously defined,

and

(v) $=N-NHC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined;

(7) C_3-C_{10}-alkynyl;

and

(8) C_3-C_{10}-alkynyl substituted with one or more substituents selected from the group consisting of

(a) trialkylsilyl,

(b) aryl,

(c) substituted aryl,

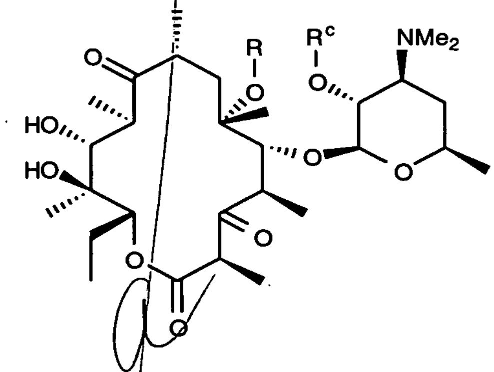
(d) heteroaryl,

and

(e) substituted heteroaryl;

the method comprising:

(a) treating a compound having the formula



wherein R^c is a hydroxy protecting group and R is as previously defined with a reagent combination selected from

(1) an alkali metal hydride and a phosgene reagent selected from phosgene, diphosgene and triphosgene under anhydrous conditions, followed by aqueous base catalyzed decarboxylation, and

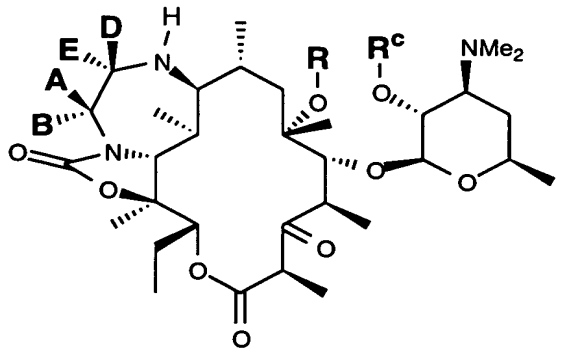
(2) reaction with methanesulfonic anhydride in pyridine, followed by treatment with an amine base,

to give the compound of formula V wherein R^b is hydroxy;

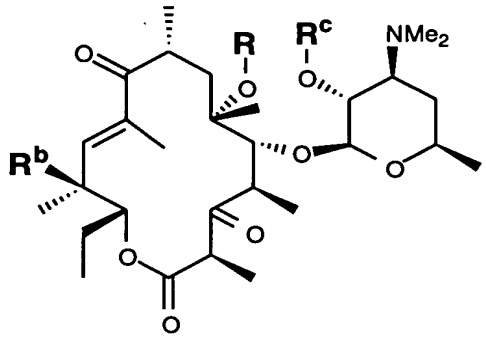
(b) optionally treating the compound of formula V of step (b) wherein R^b is hydroxy with an alkali metal hydride base and carbonyldiimidazole to give the compound of formula V wherein R^b is -O-C(O)-imidazolyl;

(c) optionally treating the compound of formula V of step (a) wherein R^b is -O-C(O)-imidazolyl with an amine to give the compound of formula V wherein R^b is -O-C(O)-NH_2; and

(d) optionally deprotecting and isolating the desired compound.

(IV-A)  ;

or

(V)  ;

as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compositions comprising such compounds; methods of treating bacterial infections by the administration of such compounds; and processes for the preparation of the compounds.